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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3 OCT 19 BEILSTEIN updated with new compounds
NEWS 4 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 5 NOV 19 WPIX enhanced with XML display format
NEWS 6 NOV 30 ICSD reloaded with enhancements
NEWS 7 DEC 04 LINPADOcdb now available on STN
NEWS 8 DEC 14 BEILSTEIN pricing structure to change
NEWS 9 DEC 17 USPATOLD added to additional database clusters
NEWS 10 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 11 DEC 17 DGENE now includes more than 10 million sequences
NEWS 12 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 15 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16 JAN 02 STN pricing information for 2008 now available
NEWS 17 JAN 16 CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29 WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:49:07 ON 06 MAR 2008

FILE 'REGISTRY' ENTERED AT 09:49:23 ON 06 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 MAR 2008 HIGHEST RN 1006657-22-2
DICTIONARY FILE UPDATES: 4 MAR 2008 HIGHEST RN 1006657-22-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

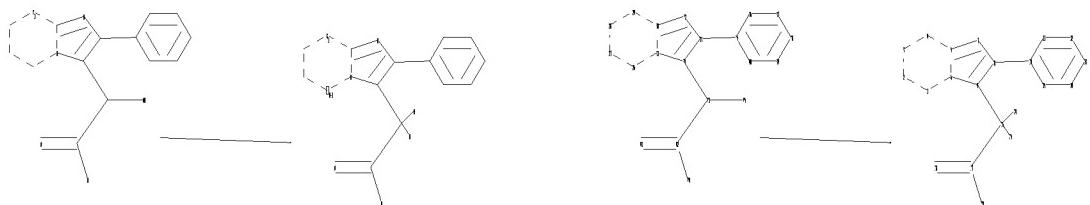
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> Uploading C:\Program Files\Stnexp\Queries\10537604.str



chain nodes :

16 17 18 19 24 25 41 42 43 44 45

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 26 27 28 29 30 31 32 33
34 35 36 37 38 39 40

chain bonds :

8-10 9-16 16-17 16-24 16-25 17-18 17-19 33-35 34-41 41-42 41-45 42-43
42-44

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34 35-36
35-40 36-37 37-38 38-39 39-40

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-16 16-17 16-24 16-25
17-18 17-19 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34
33-35 34-41 41-42 41-45 42-43 42-44

normalized bonds :

10-11 10-15 11-12 12-13 13-14 14-15 35-36 35-40 36-37 37-38 38-39 39-40

isolated ring systems :

containing 1 : 10 :

G1:C,O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS
42:CLASS 43:CLASS 44:CLASS 45:CLASS

```
fragments assigned product role:  
containing 1  
fragments assigned reactant/reagent role:  
containing 26
```

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

FILE 'CASREACT' ENTERED AT 09:50:20 ON 06 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 2 Mar 2008 VOL 148 ISS 10

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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*****  
*  
*      CASREACT now has more than 13.8 million reactions  
*  
*****
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Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 11 full
FULL SEARCH INITIATED 09:50:27 FILE 'CASREACT'
SCREENING COMPLETE -          34 REACTIONS TO VERIFY FROM      9 DOCUMENTS

100.0% DONE      34 VERIFIED      8 HIT RXNS      5 DOCS
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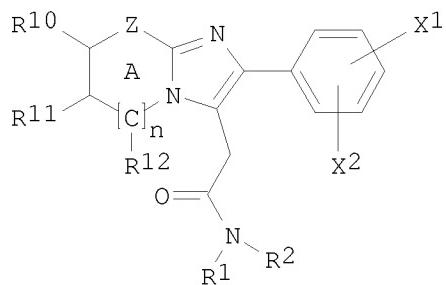
ESEA CCC EUU L1 (100% REACTIONS)

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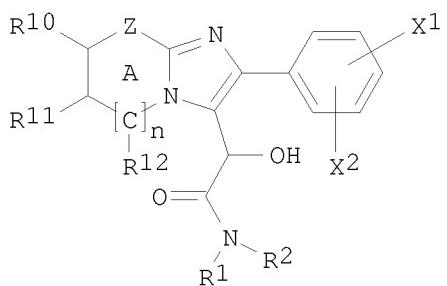
L2 ANSWER 1 OF 5 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 144:331433 CASREACT
 TITLE: Synthesis of heteroaryl acetamides from reaction
 mixtures of heteroaryl α -hydroxyacetamides
 having reduced water content
 INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.;
 Halvachs, Robert E.
 PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006007289	A1	20060119	WO 2005-US19810	20050603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005262622	A1	20060119	AU 2005-262622	20050603
CA 2571491	A1	20060119	CA 2005-2571491	20050603
CN 1972939	A	20070530	CN 2005-80020732	20050603
EP 1809627	A1	20070725	EP 2005-756522	20050603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008503578	T	20080207	JP 2007-518091	20050603
US 2007213537	A1	20070913	US 2006-594486	20060927
IN 2006CN04715	A	20070629	IN 2006-CN4715	20061222
PRIORITY APPLN. INFO.:			US 2004-581967P	20040622
			WO 2005-US19810	20050603

OTHER SOURCE(S): MARPAT 144:331433
 GI



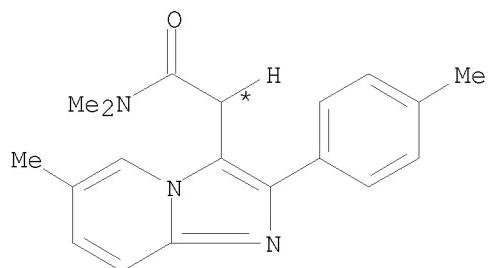
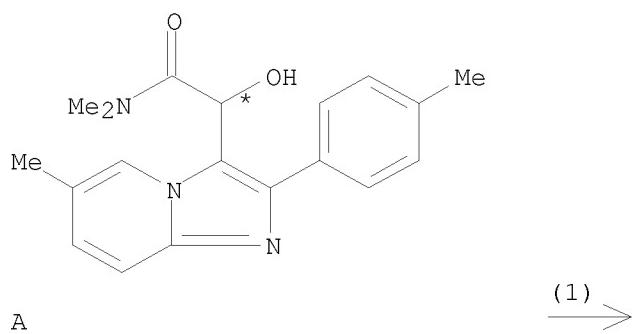
I



II

AB An improved process for the preparation of a heteroaryl acetamide (I) [Z = O, NR20 or CR21; X1, X2 = H, halogen, C1-4 alkoxy, C1-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, C1-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, C1-4 alkyl, or a fused ring such as (i) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, C1-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = C1-5 alkyl or a fused ring such as an (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21 = H, halogen, C1-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a heteroaryl α -hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl α -hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl α -hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl α -hydroxyacetamide is α -hydroxyzolpidem. Thus, α -hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

RX(1) OF 7 A ==> B



B
YIELD 97%

RX(1) RCT A 118026-14-5
RGT C 7664-93-9 H₂SO₄, D 7647-15-6 NaBr, E 1333-74-0 H₂
PRO B 82626-48-0
CAT 7440-05-3D Pd
SOL 7732-18-5 Water, 64-19-7 AcOH
CON SUBSTAGE(1) room temperature, 25 psi
SUBSTAGE(2) room temperature -> 70 deg C, 25 psi -> 35 psi
SUBSTAGE(3) 6 hours, 70 deg C, 35 psi
NTE optimization study
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

L2 ANSWER 2 OF 5 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 141:123627 CASREACT
 TITLE: Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of α -hydroxyacetamides
 INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.
 PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

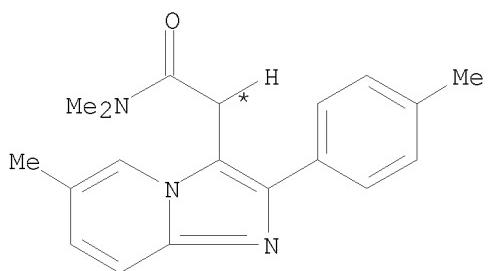
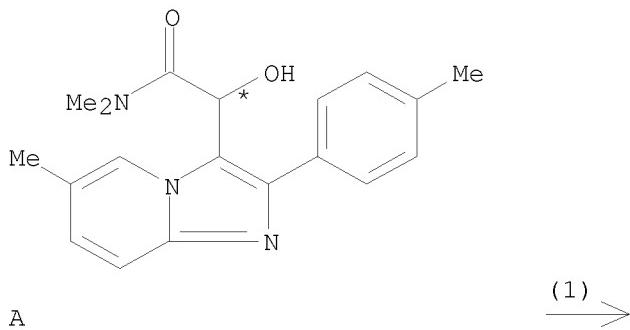
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058758	A1	20040715	WO 2003-US39951	20031216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509561	A1	20040715	CA 2003-2509561	20031216
AU 2003297153	A1	20040722	AU 2003-297153	20031216
EP 1575952	A1	20050921	EP 2003-814010	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1729188	A	20060201	CN 2003-80106954	20031216
JP 2006516139	T	20060622	JP 2004-563575	20031216
US 2006025588	A1	20060202	US 2005-537604	20050603
MX 2005PA06438	A	20050908	MX 2005-PA6438	20050615
IN 2005CN01264	A	20070622	IN 2005-CN1264	20050615
PRIORITY APPLN. INFO.:			US 2002-435763P	20021218
			WO 2003-US39951	20031216

OTHER SOURCE(S): MARPAT 141:123627
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α -hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α -hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Simillarly, α -hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

RX(1) OF 3 A ==> B



B
YIELD 97%

RX(1) RCT A 118026-14-5

STAGE(1)

RGT C 1333-74-0 H₂, D 7647-15-6 NaBr, E 7664-93-9 H₂SO₄, F 64-19-7 AcOH
CAT 7440-05-3 Pd, 7727-43-7 BaSO₄
SOL 7732-18-5 Water
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature
SUBSTAGE(3) room temperature -> 70 deg C, 25 psi
SUBSTAGE(4) 6 hours, 70 deg C, 35 psi
SUBSTAGE(5) 70 deg C -> 40 deg C

STAGE(2)

SOL 7732-18-5 Water

PRO B 82626-48-0

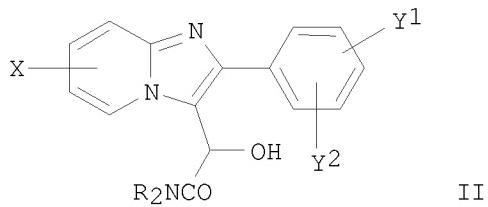
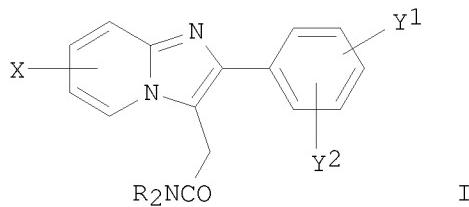
NTE optimization study, solid supported catalyst

L2 ANSWER 3 OF 5 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 140:94046 CASREACT
 TITLE: Process for the preparation imidazo[1,2-a]pyridine-3-acetamides
 INVENTOR(S): Schloemer, George C.
 PATENT ASSIGNEE(S): Scinopharm Taiwan, Ltd., USA
 SOURCE: U.S. Pat. Appl. Publ., 4 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

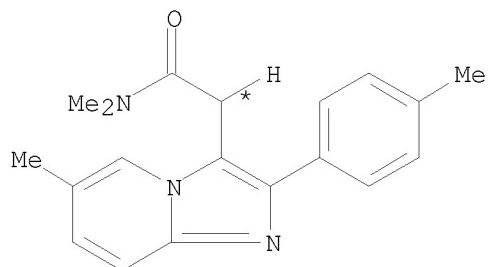
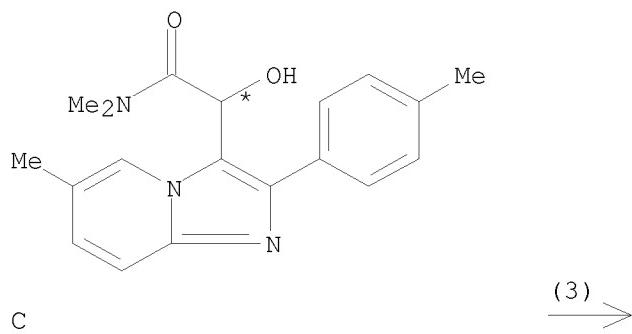
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004010146	A1	20040115	US 2003-620209	20030714
US 6861525	B2	20050301		
WO 2004007496	A1	20040122	WO 2003-US22082	20030714
W: AU, CN, JP				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003249262	A1	20040202	AU 2003-249262	20030714
EP 1539751	A1	20050615	EP 2003-764677	20030714
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1668617	A	20050914	CN 2003-816832	20030714
JP 2005538980	T	20051222	JP 2004-521845	20030714
PRIORITY APPLN. INFO.:			US 2002-396278P	20020715
			WO 2003-US22082	20030714

OTHER SOURCE(S): MARPAT 140:94046

GI



AB Imidazo[1,2-a]pyridine-3-N,N-dialkylacetamides [I; R = C1-4 alkyl; X, Y1, Y2 = H, C1-4 alkyl; e.g., 6-Methyl-N,N-dimethyl-2-(4-methylphenyl)imidazo[1,2-a]pyridine-3-acetamide] are prepared by the reaction of imidazo[1,2-a]pyridines [II; e.g., 6-methyl-N,N-dimethyl-2-(4-methylphenyl)-α-hydroxyimidazo[1,2-a]pyridine-3-acetamide] with PBr3 in a non-reactive solvent (e.g., 1,2-dichloroethane) to give an intermediate which is subjected to hydrolysis.



E
YIELD 74%

RX(3) RCT C 118026-14-5
 RGT F 7789-60-8 PBr3
 PRO E 82626-48-0
 SOL 107-06-2 ClCH₂CH₂Cl
 CON SUBSTAGE(1) room temperature
 SUBSTAGE(2) 2 hours, reflux
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 5 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 111:115178 CASREACT

TITLE: Imidazopyridine derivatives useful as sedatives, anxiolytics, and anticonvulsants, their preparation, and medicaments and compositions containing them

INVENTOR(S): George, Pascal; Allen, John; Jaurand, Guy

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Fr. Demande, 13 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

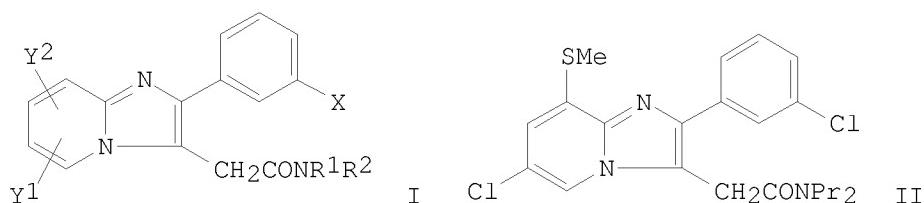
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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FR 2612927	A1	19880930	FR 1987-4276	19870327
FR 2612927	B1	19890609		
EP 289371	A1	19881102	EP 1988-400666	19880321
EP 289371	B1	19910925		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE AT 67765				
ES 2026666	T	19911015	AT 1988-400666	19880321
IL 85840	T3	19920501	ES 1988-400666	19880321
DK 8801673	A	19920329	IL 1988-85840	19880323
FI 8801434	A	19880928	DK 1988-1673	19880325
NO 8801333	A	19880928	FI 1988-1434	19880325
AU 8813736	A	19880928	NO 1988-1333	19880325
AU 597809	B2	19900607	AU 1988-13736	19880325
JP 63258475	A	19881025	JP 1988-73036	19880325
JP 2733492	B2	19980330		
HU 46692	A2	19881128	HU 1988-1526	19880325
HU 198048	B	19890728		
ZA 8802163	A	19881130	ZA 1988-2163	19880325
CA 1324139	C	19931109	CA 1988-562556	19880325
US 4847263	A	19890711	US 1988-173813	19880328
PRIORITY APPLN. INFO.:			FR 1987-4276	19870327
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			EP 1988-400666	19880321

OTHER SOURCE(S): MARPAT 111:115178

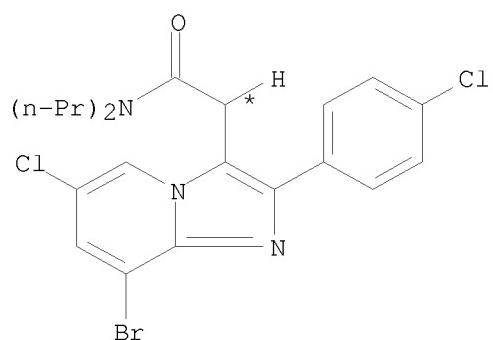
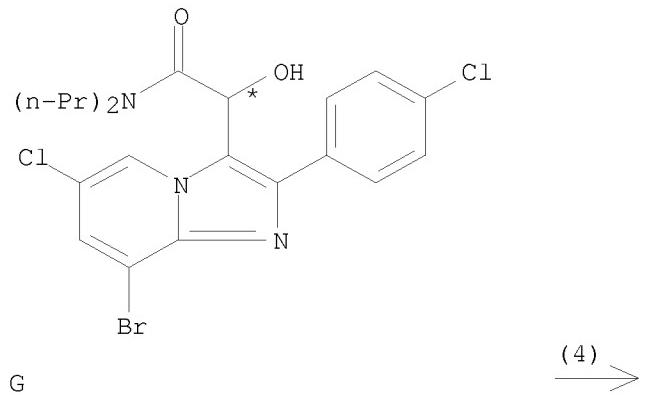
GI



AB Imidazopyridine I [Y1 = H, halo, C1-4 alkyl; Y2 = SR where R = H, C1-4 alkyl; X = H, halo, C1-4 alkyl or alkoxy, CF3, MeS, NO2, NH2; R1, R2 = H, alkyl (un)substituted by halo, hydroxy, or alkoxy; or NR1R2 = C3-6 heterocyclyl; or R1R2 = (CH2)2X(CH2)2 where X = O, S, NR3; R3 = H, C1-4 alkyl, Ph] are prepared as sedatives, anxiolytics, and anticonvulsants. Bromination of 2-amino-5-chloropyridine with Br in CH2C12 gave the 3-bromo compds., which underwent cyclocondensation with 4-C1C6H4COCH2Br in EtOH containing NaHCO3 to give 8-bromo-6-chloro-2-(4-chlorophenyl)imidazo[1,2-a]pyridine. Treatment of the latter with (EtO)2CHCONPr2 in AcOH containing

HCl gave the 3-CH(OH)CONPr₂ derivative, which reacted 1st with SOCl₂ and then with Rongalite to give the 3-CH₂CONPr₂ derivative. Displacement of Br by MeSN₂ in THF/DMF gave chloro(chlorophenyl)methylthiodipropylimidazopyridineacetamide II. The ED₅₀ of I for protection of mice from pentetrazole-induced (i.v., 35 mg/kg) clonic convulsions was 0.1-10 mg/kg, i.p.

RX(4) OF 15 ...G ==> H...



H

RX(4) RCT G 122328-23-8
PRO H 122341-79-1

L2 ANSWER 5 OF 5 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 109:149531 CASREACT

TITLE: Preparation of imidazopyridineacetamides as sedatives and hypnotics and as anticonvulsants

INVENTOR(S): George, Pascal; Allen, John

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

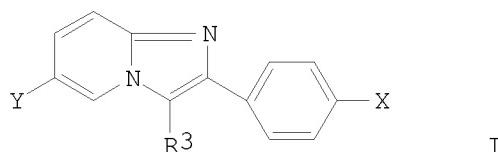
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 267111	A1	19880511	EP 1987-402463	19871102
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2606410	A1	19880513	FR 1986-15533	19861107
FR 2606410	B1	19890224		
US 4808594	A	19890228	US 1987-116217	19871103
JP 63135382	A	19880607	JP 1987-281925	19871106
PRIORITY APPLN. INFO.:			FR 1986-15533	19861107

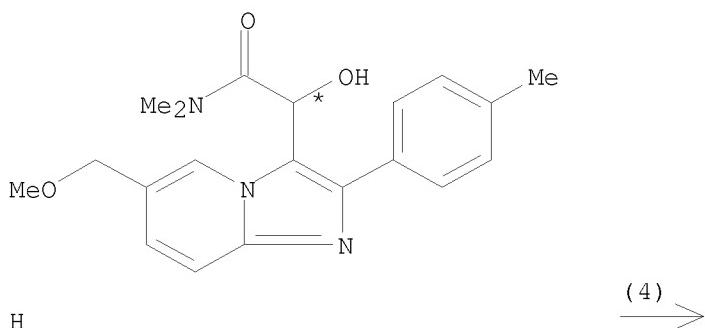
OTHER SOURCE(S): MARPAT 109:149531

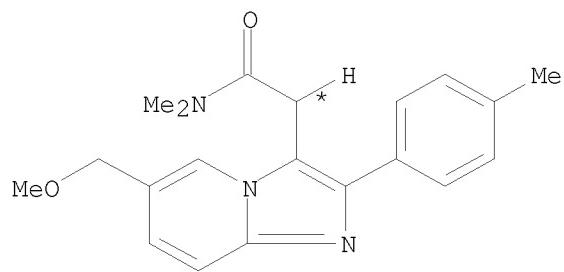
GI



AB The title compds. (I; R3 = CH2CONR1R2; R1, R2 = C1-3 alkyl; X = Me and Y = CH2OR or X = CH2OR and Y = Me; R = C1-6 alkyl) were prepared I (R3 = H, X = Me, Y = CO2Et) was stirred 0.5 h at 0° with LiAlH4 in THF and the product stirred 40 min with NaH and MeI in THF-DMF to give I (R3 = H, X = Me, Y = CH2OMe) which was stirred 2 h at 50° with Me2NCCHO in HOAc containing NaOAc to give I [R3 = CH(OH)CONMe2, X = Me, Y = CH2OMe]. The latter was stirred 20 h with SOC12 in CH2C12 and the product stirred 3 h with HOCH2SO2Na in CH2C12 to give I (R3 = CH2CONMe2, X = Me, Y = CH2OMe). I protect 50% of mice given pentetrazol i.v. from convulsions at 0.1-10 mg/kg i.p.

RX(4) OF 7 ...H ==> I





I

RX(4) RCT H 116494-83-8
RGD J 7719-09-7 SOC12
PRO I 116494-84-9
CAT 149-44-0 HOCH₂SO₂Na

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	143.26	144.39
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

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